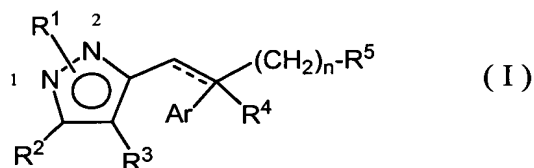


What is claimed is:

1. A CCK-1 receptor antagonist of the general formula:



5

wherein,

R<sup>1</sup> is a 1- or 2-position substituent selected from the group consisting of hydrogen,

- a) phenyl, optionally mono-, di- or tri-substituted with R<sup>p</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-, -(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or -(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>)-;
- R<sup>p</sup> is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl, -OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl, -OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)C<sub>1-6</sub>alkyl, -(S(O)<sub>n</sub>)-C<sub>1-6</sub>alkyl (wherein n is selected from 0, 1 or 2), -SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH and -COOC<sub>1-6</sub>alkyl;
- b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered

aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>p</sup>;

- 5 c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>p</sup>;
- d) naphthyl, optionally mono-, di- or tri-substituted with R<sup>p</sup>;
- 10 e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with R<sup>p</sup> and optionally benzo fused on the
- 15 condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>p</sup>;
- f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or
- 20 two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with R<sup>p</sup> and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with R<sup>p</sup>;
- g) adamantanyl or monocyclic C<sub>5-7</sub>cycloalkyl, optionally having one or
- 25 two carbon members optionally replaced with >O, >NH or >N(C<sub>1-4</sub>alkyl) and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH, =O or -CH<sub>3</sub>;
- h) a C<sub>1-8</sub>alkyl;
- 30 i) C<sub>1-4</sub>alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

R<sup>2</sup> is selected from the group consisting of:

- i) phenyl, optionally mono-, di- or tri- substituted with R<sup>q</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,

$-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  
 $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;

$R^q$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,

5  $-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,  
 $-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are  
independently selected from  $H$ ,  $C_{1-6}alkyl$ ,  $C_{1-6}alkenyl$ , or  $R^y$  and  
 $R^z$  may be taken together with the nitrogen of attachment to  
form an otherwise aliphatic hydrocarbon ring, said ring having 4  
to 7 members, optionally having one carbon replaced with  $>O$ ,  
10  $=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon  
substituted with  $-OH$ , and optionally having one or two  
unsaturated bonds in the ring,  $-(C=O)N(R^y)R^z$ ,  $-(N-R^t)COR^t$ ,  
 $-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is  $H$  or  $C_{1-6}alkyl$  or two  $R^t$  in the  
same substituent may be taken together with the amide of  
15 attachment to form an otherwise aliphatic hydrocarbon ring,  
said ring having 4 to 6 members),  $-(C=O)C_{1-6}alkyl$ ,  
 $-(S(O)_n)-C_{1-6}alkyl$  (wherein  $n$  is selected from 0, 1 or 2),  
 $-SO_2N(R^y)R^z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and  
 $-COOC_{1-6}alkyl$ ;

- 20 ii) phenyl or pyridyl fused at two adjacent ring members to a three  
membered hydrocarbon moiety to form a fused five membered  
aromatic ring, which moiety has one carbon atom replaced by  $>O$ ,  
 $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$  and which moiety has up to one additional  
carbon atom optionally replaced by  $N$ , the fused rings optionally  
25 mono-, di- or tri-substituted with  $R^q$ ;
- iii) phenyl fused at two adjacent ring members to a four membered  
hydrocarbon moiety to form a fused six membered aromatic ring,  
which moiety has one or two carbon atoms replaced by  $N$ , the fused  
rings optionally mono-, di- or tri-substituted with  $R^q$ ;
- 30 iv) naphthyl, optionally mono-, di- or tri-substituted with  $R^q$ ;
- v) a monocyclic aromatic hydrocarbon group having five ring atoms,  
having a carbon atom which is the point of attachment, having one  
carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-6}alkyl)$ , having up to  
one additional carbon atoms optionally replaced by  $N$ , optionally

mono- or di-substituted with  $R^q$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^q$ ; and

- 5 vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or  
10 di-substituted with  $R^q$ ;

$R^3$  is selected from the group consisting of H, halo, and  $C_{1-6}$ alkyl;

n is selected from 0, 1, or 2, with the proviso that where  $R^5$  is attached through  $-S-$ , the n is 1 or 2;

$R^4$  is selected from the group consisting of H, halo or  $C_{1-6}$ alkyl or a covalent  
15 bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

- A) phenyl, optionally mono-, di- or tri-substituted with  $R^r$  or di-substituted on adjacent carbons with  $-OC_{1-4}$ alkyleneO-,  
20  $-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;

$R^r$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}$ alkyl,  $-OC_{1-6}$ alkyl, phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}$ cycloalkyl,  $-OC_{3-6}$ cycloalkyl,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are  
25 independently selected from H,  $C_{1-6}$ alkyl or  $C_{1-6}$ alkenyl, or  $R^y$  and  $R^z$  may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon substituted  
30 with  $-OH$ , and optionally having one or two unsaturated bonds in the ring),  $-(C=O)N(R^y)R^z$ ,  $-(N-R^t)COR^t$ ,  $-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is H or  $C_{1-6}$ alkyl or two  $R^t$  in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6

members),  $-(C=O)C_{1-6}\text{alkyl}$ ,  $-(S(=O)_n)-C_{1-6}\text{alkyl}$  (wherein  $n$  is selected from 0, 1 or 2),  $-\text{SO}_2\text{N}(\text{R}^y)\text{R}^z$ ,  $-\text{SCF}_3$ , halo,  $-\text{CF}_3$ ,  $-\text{OCF}_3$ ,  $-\text{COOH}$  and  $-\text{COOC}_{1-6}\text{alkyl}$ ;

- 5 B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by  $>\text{O}$ ,  $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$  and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $\text{R}^f$ ;
- 10 C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $\text{R}^f$ ;
- D) naphthyl, optionally mono-, di- or tri-substituted with  $\text{R}^f$ ;
- 15 E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>\text{O}$ ,  $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$ , having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with  $\text{R}^f$  and optionally benzo fused on the
- 20 condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $\text{R}^f$ ; and
- F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or
- 25 two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $\text{R}^f$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $\text{R}^f$ ;

$\text{R}^5$  is selected from the group consisting of;

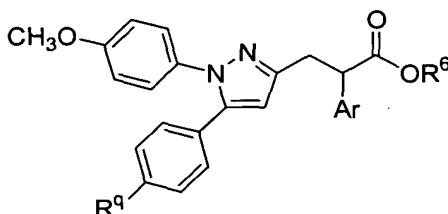
- 30 I)  $-\text{COOR}^6$ , where  $\text{R}^6$  is selected from the group consisting of H and  $-\text{C}_{1-4}\text{alkyl}$ ,
- II)  $-\text{CONR}^7\text{R}^8$ , where  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen,  $\text{C}_{1-6}\text{alkyl}$  and  $\text{C}_{3-6}\text{cycloalkyl}$  optionally hydroxy substituted, or  $\text{R}^7$  and  $\text{R}^8$  may be taken together with the

nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N$ -,  $>NH$  or  $>N(C_{1-4}alkyl)$  and optionally having one or two unsaturated bonds in the ring; and

- 5           III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

- 10          except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:



where  $R^q$ , Ar and  $R^6$  are selected concurrently from the groups consisting of:

CP#	$R^q$	Ar	$R^6$
R1	-Cl	phenyl-	$-CH_2CH_3$
R2	-Cl	3,4-diMeO-phenyl-	$-CH_2CH_3$
R3	-Cl	4-MeO-phenyl-	$-CH_2CH_3$
R4	$-CH_3$	2-naphthyl-	$-CH_2CH_3$
R5	$-CH_3$	1-naphthyl-	$-CH_2CH_3$
R6	$-CH_3$	2-MeO-phenyl-	$-CH_2CH_3$
R7	$-CH_3$	2-pyridyl-	$-CH_2CH_3$

R8	-CH <sub>3</sub>	2-carboxymethyl-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R9	-CH <sub>3</sub>	3-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>
R10	-Cl	4-MeO-phenyl-	-H
R11	-Cl	3,4-diMeO-phenyl-	-H
R12	-CH <sub>3</sub>	2-naphthyl-	-H
R13	-CH <sub>3</sub>	1-naphthyl-	-H
R14	-CH <sub>3</sub>	2-MeO-phenyl-	-H
R15	-CH <sub>3</sub>	2-carboxy-phenyl-	-H
R16	-CH <sub>3</sub>	4-biphenyl	-CH <sub>2</sub> CH <sub>3</sub> and
R17	-CH <sub>3</sub>	4-biphenyl	-H.

2. The compound of claim 1 wherein R<sup>1</sup>, optionally substituted with R<sup>p</sup>, is selected from the group consisting of hydrogen:

- a) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indoliny, 4-, 5-, 6-, 7-isoindoliny, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,
- b) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,

- c) 5-, 6-, 7- or 8-isoquinolinyl, 5-, 6-, 7- or 8-quinolinyl, 5-, 6-, 7- or 8-quinoxaliny, 5-, 6-, 7- or 8-quinazoliny,
- d) naphthyl,
- e) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazinyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl,
- f) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-isoquinolinyl, 2-, 3- or 4-quinolinyl, 2- or 3-quinoxaliny, 2- or 4-quinazoliny, 1-oxy-pyridin-2, 3, or 4-yl,
- g) cyclopentyl, cyclohexyl, cycloheptyl, piperidin-2,3 or 4-yl, 2-pyrrolin-2, 3, 4 or 5-yl, 3-pyrrolin-2 or 3-yl, 2-pyrazolin-3, 4 or 5-yl, morpholin-2, 3, 5 or 6-yl, thiomorpholin-2, 3, 5 or 6-yl, piperazin-2, 3, 5 or 6-yl, pyrrolidin-2 or 3-yl, homopiperidinyl, adamantanyl,
- h) methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, t-butyl, n-pentyl, pent-2-yl, hexyl, hex-2-yl, and
- i) -C<sub>1-2</sub>alkyl mono-substituted with any one of the preferred substituents of a) to g).

20

3. The compound of claim 1 wherein R<sup>1</sup>, optionally substituted with R<sup>p</sup>, is selected from the group consisting of H, methyl, phenyl, benzyl, cyclohexyl, cyclohexylmethyl, pyridinyl, pyridinylmethyl and pyridinyl-N-oxide.

25

4. The compound of claim 1 wherein R<sup>1</sup> are selected from the group consisting of phenyl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 2,3-dimethoxy-phenyl, 3,4-dimethoxy-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 2,4-dichloro-phenyl, 3,4-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 2,5-dimethyl-phenyl, 2-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 4-t-butyl-phenyl, benzyl, cyclohexyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 4-trifluoromethyl-2-pyridyl, 2-pyridyl-N-oxide, 4-methanesulfonyl-phenyl, 4-phenoxy-phenyl, 4-isopropyl-phenyl, 4-ethoxy-phenyl, 4-hydroxy-phenyl, 4-

30



pyridinyl-methyl, benzo[1,3]diox-5-yl, 2,3-dihydro benzo[1,4]dioxin-6-yl and cyclohexylmethyl.

5. The compound of claim 1 wherein R<sup>p</sup> is selected from the group consisting of –OH, –CH<sub>3</sub>, –CH<sub>2</sub>CH<sub>3</sub>, i-propyl, t-butyl, –OCH<sub>3</sub>, –OCH<sub>2</sub>CH<sub>3</sub>, –OCH(CH<sub>3</sub>)<sub>2</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, –Ocyclopentyl, –Ocyclohexyl, phenyl, –Ophenyl, benzyl, –Obenzyl, –CN, –NO<sub>2</sub>, –C(O)NH<sub>2</sub>, –C(O)N(CH<sub>3</sub>)<sub>2</sub>, –C(O)NH(CH<sub>3</sub>), –NH(CO)H, –NHCOCH<sub>3</sub>, –NCH<sub>3</sub>(CO)H, –NCH<sub>3</sub>COCH<sub>3</sub>, –NHSO<sub>2</sub>CH<sub>3</sub>, –NCH<sub>3</sub>SO<sub>2</sub>CH<sub>3</sub>, –C(O)CH<sub>3</sub>, –SOCH<sub>3</sub>, –SO<sub>2</sub>CH<sub>3</sub>,  
 10 –SO<sub>2</sub>NH<sub>2</sub>, –SO<sub>2</sub>NHCH<sub>3</sub>, –SO<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, –SCF<sub>3</sub>, –F, –Cl, –Br, I, –CF<sub>3</sub>, –OCF<sub>3</sub>, –COOH, –COOCH<sub>3</sub>, –COOCH<sub>2</sub>CH<sub>3</sub>, –NH<sub>2</sub>, –NHCH<sub>3</sub>, –NHCH<sub>2</sub>CH<sub>3</sub>, –NH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), –NH(CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>), –NH(allyl), –NH(CH<sub>2</sub>(CH<sub>3</sub>)<sub>2</sub>), –N(CH<sub>3</sub>)<sub>2</sub>, –N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, –NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), –NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>3</sub>), –NCH<sub>3</sub>(CH(CH<sub>3</sub>)<sub>2</sub>), pyrrolidin-2-one-1-yl, azetidiny, piperidin-1-yl, 2- or  
 15 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl, homopiperidin-1-yl.

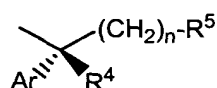
6. The compound of claim 1 wherein R<sup>p</sup> is selected from the group consisting of hydrogen, methyl, methoxy, ethoxy, chloro, fluoro, trifluoromethyl, trifluoromethoxy, t-butyl, methanesulfonyl, phenoxy, isopropyl and hydroxy.  
 20

7. The compound of claim 1 wherein R<sup>2</sup>, optionally substituted with R<sup>q</sup>, is selected from the group consisting of:
- i) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-,  
 25 5-, 6-, 7-indoliny, 4-, 5-, 6-, 7-isoindoliny, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,
  - ii) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-  
 30 yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,
  - iii) 5-, 6-, 7- or 8-isoquinoliny, 5-, 6-, 7- or 8-quinoliny, 5-, 6-, 7- or 8-quinoxaliny, 5-, 6-, 7- or 8-quinazoliny,

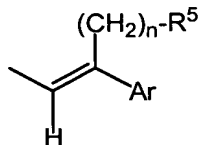
- iv) naphthyl,
- v) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazinyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl, and
- vi) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-isoquinolinyl, 2-, 3- or 4-quinolinyl, 2- or 3-quinoxalinyl, 2- or 4-quinazolinyl,
8. The compound of claim 1 wherein  $R^2$ , optionally substituted with  $R^q$ , is selected from the group consisting of phenyl, naphthalenyl, pyridinyl, thiophenyl, benzothiophenyl, furanyl, benzofuranyl, indolyl, indolinyl, isoquinolinyl and quinolinyl.
9. The compound of claim 1 wherein  $R^2$  is selected from the group consisting of 4-methyl-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 3,4-dichloro-phenyl, benzo[1,3]dioxol-5-yl, 2,3-dihydro benzo[1,4]dioxin-6-yl, 4-methoxy-phenyl, phenyl, 4-phenoxy-phenyl, naphthalen-2-yl, pyridin-3-yl, 2-chloro-pyridin-3-yl, pyridin-4-ylmethyl, 4-benzyloxy-phenyl, 4-dimethylamino-phenyl, 4-bromo-3-methyl-phenyl, 3-methoxy-4-methyl-phenyl, 3-cyclopentyloxy-4-methoxy-phenyl, 4-bromo-2-chloro-phenyl, 4-bromo-phenyl, 3-dimethylamino-phenyl, 4-morpholin-1-yl-phenyl, 4-pyrrolidin-1-yl-phenyl, 4-(N-propylamino)-phenyl, 4-(N-isobutylamino)-phenyl, 4-diethylamino-phenyl, 4-(N-allylamino)-phenyl, 4-(N-isopropylamino)-phenyl, 4-(N-methyl-N-propylamino)-phenyl, 4-(N-methyl-N-isopropylamino)-phenyl, 4-(N-methyl-N-ethylamino)-phenyl, 4-amino-phenyl, 4-(N-methyl-N-propylamino)-2-chloro-phenyl, 4-(N-ethyl-N-methylamino)-2-chloro-phenyl, 4-(pyrrolidin-1-yl)-2-chloro-phenyl, 4-azetidiny-phenyl, 4-(pyrrolidin-2-one-1-yl)-phenyl, 4-bromo-3-methyl-phenyl, 4-chloro-3-methyl-phenyl, 1-methyl-5-indolinyl, 5-indolinyl, 5-isoquinolinyl, 6-quinolinyl, benzo[1,3]diox-5-yl and 7-methoxy-benzofuran-2-yl.
9. The compound of claim 1 wherein  $R^q$  is selected from the group consisting of -OH, -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, i-propyl, t-butyl, -OCH<sub>3</sub>, -OCH<sub>2</sub>CH<sub>3</sub>, -OCH(CH<sub>3</sub>)<sub>2</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -Ocyclopentyl,

- Ocyclohexyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -CN, -NO<sub>2</sub>, -C(O)NH<sub>2</sub>,  
 -C(O)N(CH<sub>3</sub>)<sub>2</sub>, -C(O)NH(CH<sub>3</sub>), -NH(CO)H, -NHCOCH<sub>3</sub>, -NCH<sub>3</sub>(CO)H,  
 -NCH<sub>3</sub>COCH<sub>3</sub>, -NHSO<sub>2</sub>CH<sub>3</sub>, -NCH<sub>3</sub>SO<sub>2</sub>CH<sub>3</sub>, -C(O)CH<sub>3</sub>, -SOCH<sub>3</sub>, -SO<sub>2</sub>CH<sub>3</sub>,  
 -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NHCH<sub>3</sub>, -SO<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -SCF<sub>3</sub> -F, -Cl, -Br, I, -CF<sub>3</sub>, -OCF<sub>3</sub>,  
 5 -COOH, -COOCH<sub>3</sub>, -COOCH<sub>2</sub>CH<sub>3</sub>, -NH<sub>2</sub>, -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>,  
 -NH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -NH(CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>), -NH(allyl), -NH(CH<sub>2</sub>(CH<sub>3</sub>)<sub>2</sub>),  
 -N(CH<sub>3</sub>)<sub>2</sub>, -N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>3</sub>),  
 -NCH<sub>3</sub>(CH(CH<sub>3</sub>)<sub>2</sub>), pyrrolidin-2-one-1-yl, azetidiny, piperidin-1-yl, 2- or  
 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl,  
 10 homopiperidin-1-yl.

10. The compound of claim 1 wherein R<sup>q</sup> is selected from the group  
 consisting of methyl, bromo, chloro, methoxy, cyclopentyloxy, phenoxy,  
 benzyloxy, pyrrolidinyl, N-methyl-N-ethylamino and dimethylamino.  
 15
11. The compound of claim 1 wherein there are 0, 1 or 2 R<sup>q</sup> substituents.
12. The compound of claim 1 wherein R<sup>3</sup> is selected from the group  
 consisting of -H, -F, Cl, Br and -CH<sub>3</sub>.  
 20
13. The compound of claim 1 wherein R<sup>3</sup> is H.
14. The compound of claim 1 wherein n is 0, or 1.
- 25 15. The compound of claim 1 wherein R<sup>4</sup> is selected from the group  
 consisting of -H, -F and -CH<sub>3</sub>.
16. The compound of claim 1 wherein R<sup>4</sup> is H.
- 30 17. The compound of claim 1 wherein the Ar attached carbon is saturated  
 and has the configuration



18. The compound of claim 1 wherein the Ar attached carbon is unsaturated and has the configuration



5 19. The compound of claim 1 wherein Ar, optionally substituted with R<sup>r</sup>, is selected from the group consisting of:

- A) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indolyl, 4-, 5-, 6-, 7-isoindolyl, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,
- 10 B) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl,
- 15 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,
- C) 5-, 6-, 7- or 8-isoquinolyl, 5-, 6-, 7- or 8-quinolyl, 5-, 6-, 7- or 8-quinoxalyl, 5-, 6-, 7- or 8-quinazolyl,
- D) naphthyl,
- E) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl,
- 20 oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl, and
- F) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-
- 25 isoquinolyl, 2-, 3- or 4-quinolyl, 2- or 3-quinoxalyl, 2- or 4-quinazolyl.

20. The compound of claim 1 wherein Ar, optionally substituted with R<sup>r</sup>, is selected from the group consisting of phenyl, naphthalenyl, benzofuran-3-yl, 4, 5, 6 or 7-benzothiophenyl, 4, 5, 6 or 7-benzo[1,3]dioxolyl, 8-quinolyl, 2-indolyl,

30 3-indolyl and pyridinyl.

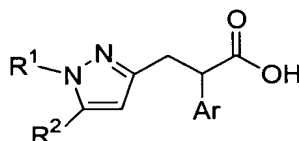
21. The compound of claim 1 wherein Ar are selected from the group consisting of phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 2,5-dimethyl-phenyl, 2-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 2-fluoro-3-trifluoromethyl-phenyl, 2-fluoro-phenyl, 2,3-difluoro-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 2,3-dichloro-phenyl, 3,4-dichlorophenyl, 2,6-dichlorophenyl, 3-iodo-phenyl, 2-chloro-4-fluoro-phenyl, benzofuran-3-yl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 2,3-dimethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 3-ethoxy-phenyl, 3-trifluoromethylsulfanyl-phenyl, naphthalen-1-yl, naphthalen-2-yl, benzo[b]thiophen-4-yl, 3-nitro-phenyl, benzo[1,3]dioxol-5-yl, pyridin-3-yl and pyridin-4-yl, 3-indolyl, 1-methyl-indol-3-yl, 4-biphenyl, 3,5-dimethyl-phenyl, 3-isopropoxy-phenyl, 3-dimethylamino-phenyl, 2-fluoro-5-methyl-phenyl, 2-methyl-3-trifluoromethyl-phenyl.
22. The compound of claim 1 wherein there are 0, 1 or 2 R<sup>f</sup> substituents.
23. The compound of claim 1 wherein R<sup>f</sup> is selected from the group consisting of -OH, -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, -propyl, -t-butyl, -OCH<sub>3</sub>, -OCH<sub>2</sub>CH<sub>3</sub>, -OCH(CH<sub>3</sub>)<sub>2</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -O-cyclopentyl, -O-cyclohexyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -CN, -NO<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(CH<sub>3</sub>)<sub>2</sub>, -C(O)NH(CH<sub>3</sub>), -NH(CO)H, -NHCOCH<sub>3</sub>, -NCH<sub>3</sub>(CO)H, -NCH<sub>3</sub>COCH<sub>3</sub>, -NHSO<sub>2</sub>CH<sub>3</sub>, -NCH<sub>3</sub>SO<sub>2</sub>CH<sub>3</sub>, -C(O)CH<sub>3</sub>, -SOCH<sub>3</sub>, -SO<sub>2</sub>CH<sub>3</sub>, -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>NHCH<sub>3</sub>, -SO<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -SCF<sub>3</sub>, -F, -Cl, -Br, I, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH, -COOCH<sub>3</sub>, -COOCH<sub>2</sub>CH<sub>3</sub>, -NH<sub>2</sub>, -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -NH(CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>), -NH(allyl), -NH(CH<sub>2</sub>(CH<sub>3</sub>)<sub>2</sub>), -N(CH<sub>3</sub>)<sub>2</sub>, -N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -NCH<sub>3</sub>(CH<sub>2</sub>CH<sub>3</sub>), -NCH<sub>3</sub>(CH(CH<sub>3</sub>)<sub>2</sub>), pyrrolin-2-one-1-yl, azetidiny, piperidin-1-yl, 2- or 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl, homopiperidin-1-yl.
24. The compound of claim 1 wherein R<sup>f</sup> is selected from the group consisting of methyl, methoxy, ethoxy, isopropoxy, dimethylamino, fluoro, chloro, iodo, trifluoromethyl, trifluoromethoxy, nitro, phenyl and trifluoromethylsulfanyl.

25. The compound of claim 1 wherein R<sup>5</sup> is selected from the group consisting of:

- I) -COOH, -COOCH<sub>3</sub>, -COOCH<sub>2</sub>CH<sub>3</sub>,
- 5 II) -CONH(CH<sub>3</sub>), -CONH(CH<sub>2</sub>CH<sub>3</sub>), -CONH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -CONH(CH(CH<sub>3</sub>)<sub>2</sub>),  
-CONH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -CONH(CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>), -CONH(C(CH<sub>3</sub>)<sub>3</sub>),  
-CONH(cyclohexyl), -CONH(2-hydroxy-cyclohexyl), -CON(CH<sub>3</sub>)<sub>2</sub>,  
-CONCH<sub>3</sub>(CH<sub>2</sub>CH<sub>3</sub>), -CONCH<sub>3</sub>(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -CONCH<sub>3</sub>(CH(CH<sub>3</sub>)<sub>2</sub>),  
-CONCH<sub>3</sub>(CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>), -CONCH<sub>3</sub>(CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>),  
10 -CONCH<sub>3</sub>(C(CH<sub>3</sub>)<sub>3</sub>), -CON(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -CO-piperidin-1-yl, -CO-morpholin-4-  
yl, -CO-piperazin-1-yl, -CO-imidazolidin-1-yl, -CO-pyrrolidin-1-yl, -CO-2-  
pyrrolin-1-yl, -CO-3-pyrrolin-1-yl, -CO-2-imidazolin-1-yl, -CO-piperidin-1-yl,  
and  
15 III) -tetrazolyl, 1H-[1,2,4]triazol-5-ylsulfinyl, 1H-[1,2,4]triazol-5-ylsulfonyl, 1H-  
[1,2,4]triazol-5-ylsulfanyl,

26. The compound of claim 1 wherein R<sup>5</sup> is selected from the group consisting of -COOH and tetrazol-5-yl.

20 27. The compound of claim 1 of the formula:



where R<sup>2</sup>, R<sup>1</sup> and Ar are selected concurrently from the groups consisting of:

EX	R <sup>2</sup>	R <sup>1</sup>	Ar
1	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(S) enantiomer, Na <sup>+</sup> salt]
2	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
3	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(R) enantiomer]

4	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(S) enantiomer, TFA salt]
5	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-
6	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
7	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
8	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(4-Methyl-phenyl)-
9	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(4-Chloro-phenyl)-
10	(2-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
11	(2-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
12	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Phenyl-
13	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
15	Phenyl-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
16	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Nitro-phenyl)-
17	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Benzo[1,3]dioxol-5-yl-
18	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(2,3-Difluoro-phenyl)-
19	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Trifluoromethyl-phenyl)-

20	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Ethoxy-phenyl)-
21	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(2-Fluoro-3-trifluoromethyl-phenyl)-
22	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(4-Trifluoromethoxy-phenyl)-
23	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Trifluoromethoxy-phenyl)-
24	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Iodo-phenyl)-
25	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3,5-Dimethyl-phenyl)-
26	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Trifluoromethyl-sulfanyl-phenyl)-
27	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
28	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Naphthalen-1-yl- [( <i>R</i> ) enantiomer]
29	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Naphthalen-1-yl- [( <i>S</i> ) enantiomer]
30	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
31	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)- [( <i>R</i> ) enantiomer]
32	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)- [( <i>S</i> ) enantiomer]
33	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Biphenyl-4-yl-



34	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Methyl-phenyl)-
35	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
36	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
37	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
38	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	Naphthalen-1-yl-
39	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	(3-Chloro-phenyl)-
40	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-
41	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	Phenyl-
42	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Methoxy-phenyl)-
43	(4-Methyl-phenyl)-	Benzyl-	(2-Chloro-phenyl)-
44	(4-Methyl-phenyl)-	Benzyl-	(3-Trifluoromethyl-phenyl)-
45	(4-Methyl-phenyl)-	Benzyl-	Naphthalen-2-yl-
46	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(2,3-Dichloro-phenyl)-
142	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(2-Methyl-phenyl)-
143	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(2-Fluoro-phenyl)-

144	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(2,6-Dichloro-phenyl)-
145	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
146	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(2,3-Dimethoxy-phenyl)-
147	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(2-Chloro-phenyl)-
148	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
149	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3,4-Dichloro-phenyl)-
150	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	Phenyl-
151	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl- [( <i>R</i> ) enantiomer]
152	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl- [( <i>S</i> ) enantiomer]
153	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	Benzo[b]thiophen-4-yl-
154	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	(3-Chloro-phenyl)-
155	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	(3-Methyl-phenyl)-
156	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	Phenyl-
157	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	(3-Methoxy-phenyl)-
158	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	(2-Chloro-phenyl)-

159	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	(3-Trifluoromethyl-phenyl)-
160	(4-Methyl-phenyl)-	(4-Chloro-phenyl)-	Naphthalen-2-yl-
161	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	Naphthalen-1-yl-
162	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	Phenyl-
163	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	(3-Methoxy-phenyl)-
164	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	(2-Chloro-phenyl)-
165	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	(3-Trifluoromethyl-phenyl)-
166	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-	Naphthalen-2-yl-
167	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	Naphthalen-1-yl-
168	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Chloro-phenyl)-
169	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	Phenyl-
170	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Methoxy-phenyl)-
171	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(2-Chloro-phenyl)-
172	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Trifluoromethyl-phenyl)-
173	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	Naphthalen-2-yl-

174	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	Naphthalen-1-yl-
175	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	(3-Chloro-phenyl)-
176	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	(3-Methyl-phenyl)-
177	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	(3-Methoxy-phenyl)-
178	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	(2-Chloro-phenyl)-
179	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	(3-Trifluoromethyl-phenyl)-
180	(4-Methyl-phenyl)-	(4-Trifluoromethyl-phenyl)-	Naphthalen-2-yl-
181	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	Naphthalen-1-yl-
182	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Chloro-phenyl)-
183	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Methyl-phenyl)-
184	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	Phenyl-
185	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(2-Chloro-phenyl)-
186	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Trifluoromethyl-phenyl)-

187	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	Naphthalen-2-yl-
188	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Nitro-phenyl)-
189	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	Benzo[1,3]dioxol-5-yl-
190	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	Benzo[b]thiophen-4-yl-
191	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(2,3-Difluoro-phenyl)-
192	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(2-Trifluoromethyl-phenyl)-
193	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(4-Trifluoromethoxy-phenyl)-
194	(4-Methyl-phenyl)-	(3,4-Dichloro-phenyl)-	(3-Trifluoromethoxy-phenyl)-
195	(4-Methyl-phenyl)-	Benzyl-	Naphthalen-1-yl-
196	(4-Methyl-phenyl)-	Benzyl-	(3-Chloro-phenyl)-
197	(4-Methyl-phenyl)-	Benzyl-	(3-Methyl-phenyl)-
198	(4-Methyl-phenyl)-	Benzyl-	Phenyl-
199	(4-Methyl-phenyl)-	Benzyl-	(3-Methoxy-phenyl)-
200	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Chloro-4-fluoro-phenyl)-
201	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Chloro-phenyl)-

202	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2,6-Dichloro-phenyl)-
203	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Methoxy-phenyl)-
204	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Phenyl-
205	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Methyl-phenyl)-
206	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Fluoro-phenyl)-
207	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
208	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Pyridin-3-yl-
209	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
210	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
211	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
212	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
213	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Nitro-phenyl)-
214	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Benzo[1,3]dioxol-5-yl-
215	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(2-Fluoro-3-trifluoromethyl-phenyl)-
216	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Trifluoromethoxy-phenyl)-

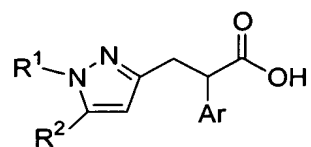
217	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Iodo-phenyl)-
218	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3,5-Dimethyl-phenyl)-
219	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(2,3-Dichloro-phenyl)-
220	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
221	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
222	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Phenyl-
223	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
224	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Nitro-phenyl)-
225	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(2,3-Difluoro-phenyl)-
226	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(2-Trifluoromethyl-phenyl)-
227	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Ethoxy-phenyl)-
228	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(2-Fluoro-3-trifluoromethyl-phenyl)-
229	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(4-Trifluoromethoxy-phenyl)-
230	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Trifluoromethyl-sulfanyl-phenyl)-
231	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3-Iodo-phenyl)-

232	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(3,5-Dimethyl-phenyl)-
233	Benzo[1,3]dioxol-5-yl-	(4-Methoxy-phenyl)-	(2,3-Dichloro-phenyl)-
234	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
235	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
236	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
237	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
238	Phenyl-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-
239	Phenyl-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
240	Phenyl-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
241	Phenyl-	(4-Methoxy-phenyl)-	Phenyl-
242	(2-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
243	(2-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Phenyl-
244	(2-Chloro-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
245	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-
246	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)-



247	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-1-yl-
248	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Methoxy-phenyl)-
249	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	Phenyl-
250	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	Naphthalen-2-yl-
251	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	Benzo[1,3]dioxol-5-yl-
252	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(2,3-Difluoro-phenyl)-
253	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(2-Trifluoromethyl-phenyl)-
254	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Ethoxy-phenyl)-
255	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(2-Fluoro-3-trifluoromethyl-phenyl)-
256	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Trifluoromethoxy-phenyl)-
257	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Trifluoromethyl-sulfanyl-phenyl)-
258	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3-Iodo-phenyl)-
259	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(3,5-Dimethyl-phenyl)-
260	(4-Phenoxy-phenyl)-	(4-Methoxy-phenyl)-	(2,3-Dichloro-phenyl)-

28. The compound of claim 1 of the formula:

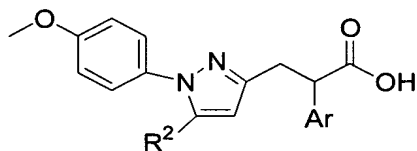


where  $R^2$ ,  $R^1$  and Ar are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$	Ar
77	(4-Bromo-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-
85	(4-Bromo-2-chloro-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-
106	Quinolin-6-yl-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-
126	(3,4-Dichloro-phenyl)-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)-
127	Naphthalen-2-yl-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)-
128	Naphthalen-2-yl-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)-
319	Benzo[1,3]dioxol-5-yl-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-
320	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	3-Isopropoxy-
321	Naphthalen-2-yl-	Benzyl-	(3-Methyl-phenyl)-
322	Benzo[1,3]dioxol-5-yl-	Benzyl	(3-Methyl-phenyl)-
323	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-	(2,5-Dimethyl-phenyl)-
324	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-	(3-Chloro-phenyl)-

325	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-	(3-Isopropoxy-phenyl)-
326	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-	(2-Fluoro-5-methyl-phenyl)-
327	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-	(2-Methyl-3-trifluoromethyl-phenyl)-
328	(3,4-Dichloro-phenyl)-	(4-Hydroxy-phenyl)-	(3-Methyl-phenyl)- [(S) enantiomer]
329	(3,4-Dichloro-phenyl)-	(4-Ethoxy-phenyl)-	(3-Methyl-phenyl)-
330	Naphthalen-2-yl-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)-
331	(3,4-Dichloro-phenyl)-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)-
332	(3,4-Dichloro-phenyl)-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)-
333	(4-Chloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Chloro-phenyl)-
334	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Trifluoromethylsulfanyl-phenyl)-

29. The compound of claim 1 of the formula:

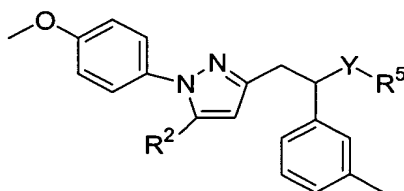


where  $R^2$  and Ar are selected concurrently from the groups consisting of:

EX	$R^2$	Ar
14	(4-Methoxy-phenyl)-	Benzofuran-3-yl-

- 71 (4-Methyl-phenyl)- (1*H*-indol-3-yl)-
- 72 (4-Methyl-phenyl)- (1-Methyl-1*H*-indol-3-yl)-
- 261 (3,4-Dichloro-phenyl)- Benzofuran-3-yl-
- 262 Benzo[1,3]dioxol-5-yl- Benzofuran-3-yl-
- 263 Phenyl- Benzofuran-3-yl-
- 264 (2-Chloro-phenyl)- Benzofuran-3-yl-
- 265 (4-Phenoxy-phenyl)- Benzofuran-3-yl-

30. The compound of claim 1 of the formula:

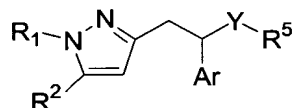


where  $R^2$  and  $R^5$ -Y- are selected concurrently from the groups consisting of:

- | EX | $R^2$              | $R^5$ -Y-                         |
|----|--------------------|-----------------------------------|
| 64 | (4-Methyl-phenyl)- | (2-Hydroxy-cyclohexyl-carbamoyl)- |
| 65 | (4-Methyl-phenyl)- | Carbamoyl-                        |
| 66 | (4-Methyl-phenyl)- | (Dimethyl-carbamoyl)-             |
| 67 | (4-Methyl-phenyl)- | (Methyl-carbamoyl)-               |

68 (4-Methyl-phenyl)- (4-Methyl-piperazine-1-carbonyl)-

31. The compound of claim 1 of the formula:

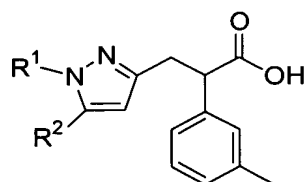


where  $R^2$  and  $R^5$ -Y- are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$	Ar	$R^5$ -Y-
74	(4-Methyl-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(1 <i>H</i> -Tetrazol-5-yl)-
129	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(1 <i>H</i> -Tetrazol-5-yl)- [( <i>S</i> ) enantiomer]
130	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(1 <i>H</i> -Tetrazol-5-yl)- [racemic]
131	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(1 <i>H</i> -Tetrazol-5-yl)- [( <i>R</i> ) enantiomer]
132	Benzo[1,3]dioxol-5-yl-	(2,5-Dichloro-phenyl)-	(3-chloro-phenyl)-	(1 <i>H</i> -Tetrazol-5-yl)-
135	3,4-Dichloro-phenyl-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(2 <i>H</i> -[1,2,4]Triazol-3-ylsulfanylmethyl)-
136	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-	(2 <i>H</i> -[1,2,4]Triazole-3-sulfinylmethyl)-
137	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-	(2 <i>H</i> -[1,2,4]Triazole-3-sulfonylmethyl)-

138	3,4-Dichloro-phenyl-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)-	(2 <i>H</i> -[1,2,4]Triazole-3-sulfonylmethyl)-[( <i>S</i> ) enantiomer]
335	(4-Methyl-phenyl)-	(4-Methyl-phenyl)-	(3-Methyl-phenyl)-	(2 <i>H</i> -[1,2,4]Triazol-3-ylsulfonylmethyl)-

32. The compound of claim 1 of the formula:



where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

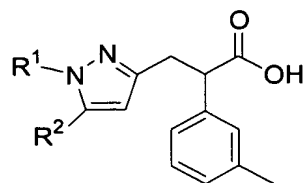
EX	$R^2$	$R^1$
53	(4-Phenoxy-phenyl)-	(4- <i>tert</i> -Butyl-phenyl)-
54	(3,4-Dichloro-phenyl)-	(4-Methanesulfonyl-phenyl)-
55	Benzo[1,3]dioxol-5-yl-	(2-Chloro-phenyl)-
57	(3-Chloro-phenyl)-	(2,4-Dichloro-phenyl)-
58	(4-Benzoyloxy-phenyl)-	(4-Trifluoromethoxy-phenyl)-
59	(4-Dimethylamino-phenyl)-	(4-Methyl-phenyl)-
60	(3-Methoxy-4-methyl-phenyl)-	(4-Methyl-phenyl)-
61	(3-Cyclopentyloxy-4-methoxy-phenyl)-	(4-Methyl-phenyl)-

62	(4-Bromo-3-methyl-phenyl)-	(4-Phenoxy-phenyl)-
266	Naphthalen-2-yl-	(2,4-Dichloro-phenyl)-
267	Naphthalen-2-yl-	(2-Chloro-phenyl)-
268	Naphthalen-2-yl-	(4-Methanesulfonyl-phenyl)-
269	Naphthalen-2-yl-	(4- <i>tert</i> -Butyl-phenyl)-
270	Naphthalen-2-yl-	(4-Trifluoromethoxy-phenyl)-
271	Naphthalen-2-yl-	(4-Methyl-phenyl)-
272	Naphthalen-2-yl-	(4-Phenoxy-phenyl)-
273	(3,4-Dichloro-phenyl)-	(2,4-Dichloro-phenyl)-
274	(3,4-Dichloro-phenyl)-	(2-Chloro-phenyl)-
275	(3,4-Dichloro-phenyl)-	(4- <i>tert</i> -Butyl-phenyl)-
276	Benzo[1,3]dioxol-5-yl-	(2,4-Dichloro-phenyl)-
277	Benzo[1,3]dioxol-5-yl-	(4-Methanesulfonyl-phenyl)-
278	Benzo[1,3]dioxol-5-yl-	(4- <i>tert</i> -Butyl-phenyl)-
279	(3-Chloro-phenyl)-	(2-Chloro-phenyl)-

280	(3-Chloro-phenyl)-	(4-Methanesulfonyl-phenyl)-
281	(3-Chloro-phenyl)-	(4- <i>tert</i> -Butyl-phenyl)-
282	(4-Phenoxy-phenyl)-	(2,4-Dichloro-phenyl)-
283	(4-Phenoxy-phenyl)-	(2-Chloro-phenyl)-
284	(4-Phenoxy-phenyl)-	(4-Methanesulfonyl-phenyl)-
285	(4-Benzyloxy-phenyl)-	(4-Methyl-phenyl)-
286	(4-Benzyloxy-phenyl)-	(4-Phenoxy-phenyl)-
287	(4-Dimethylamino-phenyl)-	(4-Trifluoromethoxy-phenyl)-
288	(4-Dimethylamino-phenyl)-	(4-Phenoxy-phenyl)-
289	(4-Bromo-3-methyl-phenyl)-	(4-Methyl-phenyl)-
290	(3-Methoxy-4-methyl-phenyl)-	(4-Trifluoromethoxy-phenyl)-
291	(3-Methoxy-4-methyl-phenyl)-	(4-Phenoxy-phenyl)-
292	(3-Cyclopentyloxy-4-methoxy-phenyl)-	(4-Trifluoromethoxy-phenyl)-
293	(3-Cyclopentyloxy-4-methoxy-phenyl)-	(4-Phenoxy-phenyl)-
294	(4-Chloro-3-methyl-phenyl)-	(4-Isopropyl-phenyl)-

33. The compound of claim 1 of the formula:

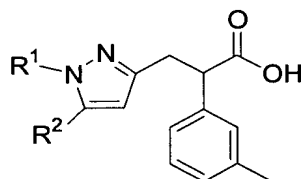




where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
52	Naphthalen-2-yl-	Pyridin-2-yl-
56	Pyridin-3-yl-	(2,4-Dichloro-phenyl)-
295	(3,4-Dichloro-phenyl)-	Pyridin-2-yl-
296	Benzo[1,3]dioxol-5-yl-	Pyridin-2-yl-
297	(3-Chloro-phenyl)-	Pyridin-2-yl-
298	(4-Phenoxy-phenyl)-	Pyridin-2-yl-
299	Pyridin-3-yl-	(4- <i>tert</i> -Butyl-phenyl)-

34. The compound of claim 1 of the formula:



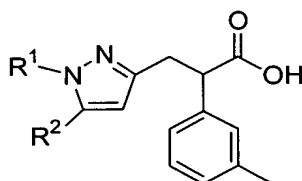
5

where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
78	(4-Dimethylamino-phenyl)-	Pyridin-2-yl-

80	Naphthalen-2-yl-	(5-Trifluoromethyl-pyridin-2-yl)-
81	(2-Chloro-pyridin-3-yl)-	(2,4-Dichloro-phenyl)-
89	Naphthalen-2-yl-	Pyridin-4-ylmethyl-
92	Naphthalen-2-yl-	Pyridin-2-yl- [(S) enantiomer]
93	Naphthalen-2-yl-	Pyridin-2-yl- [(R) enantiomer]
105	Naphthalen-2-yl-	(1-Oxy-pyridin-2-yl)-
337	(3,4-Dichloro-phenyl)-	(5-Trifluoromethyl-pyridin-2-yl)-

35. The compound of claim 1 of the formula:

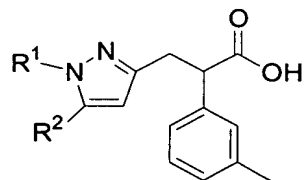


where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
47	Naphthalen-2-yl-	H-
49	(3,4-Dichloro-phenyl)-	Methyl
51	Naphthalen-2-yl-	Cyclohexyl-
300	(3,4-Dichloro-phenyl)-	Cyclohexyl-

301	Benzo[1,3]dioxol-5-yl-	Cyclohexyl-
302	(3-Chloro-phenyl)-	H-
303	(3-Chloro-phenyl)-	Methyl
304	(3-Chloro-phenyl)-	Cyclohexyl-
305	(4-Phenoxy-phenyl)-	H-
306	(4-Phenoxy-phenyl)-	Cyclohexyl-
307	(4-Dimethylamino-phenyl)-	Cyclohexyl-
308	(4-Bromo-3-methyl-phenyl)-	Cyclohexyl-
309	(3-Cyclopentyloxy-4-methoxy-phenyl)-	Cyclohexyl-
338	(3,4-Dichloro-phenyl)-	H-

36. The compound of claim 1 of the formula:

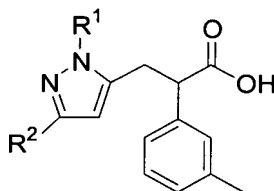


where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
63	(7-Methoxy-benzofuran-2-yl)-	(4-Phenoxy-phenyl)-

310	(7-Methoxy-benzofuran-2-yl)-	(4-Trifluoromethoxy-phenyl)-
311	(7-Methoxy-benzofuran-2-yl)-	(4-Methyl-phenyl)-
312	(7-Methoxy-benzofuran-2-yl)-	Cyclohexyl-

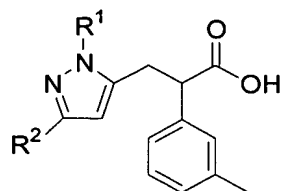
37. The compound of claim 1 of the formula:



where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
48	(3,4-Dichloro-phenyl)-	Methyl
50	Naphthalen-2-yl-	Cyclohexyl-
313	(4-Bromo-3-methyl-phenyl)-	Cyclohexyl-
314	(3,4-Dichloro-phenyl)-	Cyclohexyl-
315	Benzo[1,3]dioxol-5-yl-	Cyclohexyl-
316	(3-Chloro-phenyl)-	Methyl
317	(3-Chloro-phenyl)-	Cyclohexyl-
318	(4-Phenoxy-phenyl)-	Cyclohexyl-

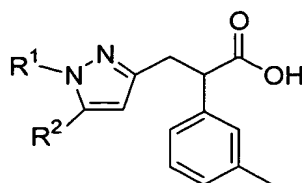
38. The compound of claim 1 of the formula:



where R<sup>2</sup> and R<sup>1</sup> are selected concurrently from the groups consisting of:

EX	R <sup>2</sup>	R <sup>1</sup>
79	Naphthalen-1-yl	Pyridin-2-yl
82	Benzo[1,3]dioxol-5-yl-	Cyclohexylmethyl-
83	Naphthalen-2-yl-	Benzyl-
84	(4-Dimethylamino-phenyl)-	Benzyl-
88	Naphthalen-2-yl-	Pyridin-4-ylmethyl-
90	(3-Dimethylamino-phenyl)-	(4-Methyl-phenyl)-
339	(4-Dimethylamino-phenyl)-	(4-Methanesulfonyl-phenyl)-
340	Benzo[1,3]dioxol-5-yl-	Benzyl-
341	(3-Dimethylamino-phenyl)-	(2,5-Dimethyl-phenyl)-
342	(3-Dimethylamino-phenyl)-	(4-Methoxy-phenyl)-

5 39. The compound of claim 1 of the formula:



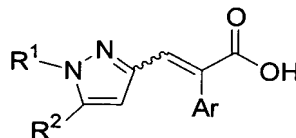
where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$
86	(4-Dimethylamino-phenyl)-	(4-Methyl-phenyl)-
87	(1-Methyl-2,3-dihydro-1 <i>H</i> -indol-5-yl)-	(4-Methyl-phenyl)-
91	(3-Dimethylamino-phenyl)-	(4-Methyl-phenyl)-
94	(4-Allylamino-phenyl)-	(4-Methyl-phenyl)-
95	(2-Chloro-4-pyrrolidin-1-yl-phenyl)-	(4-Methyl-phenyl)-
96	(4-Diethylamino-phenyl)-	(4-Methyl-phenyl)-
97	(4-Isobutylamino-phenyl)-	(4-Methyl-phenyl)-
98	(4-Morpholin-4-yl-phenyl)-	(4-Methyl-phenyl)-
99	[2-Chloro-4-(ethyl-methyl-amino)-phenyl]-	(4-Methyl-phenyl)-
100	[4-(Ethyl-methyl-amino)-phenyl]-	(4-Methyl-phenyl)-
101	[4-(Isopropyl-methyl-amino)-phenyl]-	(4-Methyl-phenyl)-
102	(4-Acetylamino-phenyl)-	(4-Methyl-phenyl)-

103	[4-(Formyl-methyl-amino)-phenyl]-	(4-Methyl-phenyl)-
104	[4-(2-Oxo-pyrrolidin-1-yl)-phenyl]-	(4-Methyl-phenyl)-
107	(4-Amino-phenyl)-	(4-Methyl-phenyl)-
344	(4-Dimethylamino-phenyl)-	Cyclohexylmethyl-
345	(4-Dimethylamino-phenyl)-	Pyridin-4-ylmethyl-
346	(4-Dimethylamino-phenyl)-	Benzyl-
347	(3-Dimethylamino-phenyl)-	(2,5-Dimethyl-phenyl)-
348	(3-Dimethylamino-phenyl)-	(4-Methoxy-phenyl)-
349	(4-Piperidin-1-yl-phenyl)-	(4-Methyl-phenyl)-
350	[4-(Methyl-propyl-amino)-phenyl]-	(4-Methyl-phenyl)-
351	(4-Isopropylamino-phenyl)-	(4-Methyl-phenyl)-
352	(4-Pyrrolidin-1-yl-phenyl)-	(4-Methyl-phenyl)-
353	(4-Propylamino-phenyl)-	(4-Methyl-phenyl)-
354	[2-Chloro-4-(methyl-propyl-amino)-phenyl]-	(4-Methyl-phenyl)-
355	(4-Azetidin-1-yl-phenyl)-	(4-Methyl-phenyl)-

356 [4-(Acetyl-methyl- (4-Methyl-phenyl)-  
amino)-phenyl]-

40. The compound of claim 1 of the formula:



where  $R^2$ ,  $R^1$  and Ar are selected concurrently from the groups consisting of:

EX	$R^2$	$R^1$	Ar
75	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(E) stereoisomer]
108	(3,4-Dichloro-phenyl)-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
109	(3,4-Dichloro-phenyl)-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)- [(E) stereoisomer]
110	(3,4-Dichloro-phenyl)-	Pyridin-2-yl-	(3-Chloro-phenyl)- [(Z) stereoisomer]
111	(3,4-Dichloro-phenyl)-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
112	Naphthalen-2-yl-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
113	Naphthalen-2-yl-	(4-ethoxy-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
114	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Phenyl- [(Z) stereoisomer]
115	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
116	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Chloro-phenyl)- [(Z) stereoisomer]



117	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)- [(Z) stereoisomer]
118	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3,4-Dichloro-phenyl)- [(Z) stereoisomer]
119	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Methyl-phenyl)- [(Z) stereoisomer]
120	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(Z) stereoisomer]
121	Benzo[1,3]dioxol-5-yl-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
122	Benzo[1,3]dioxol-5-yl-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)- [(Z) stereoisomer]
123	Benzo[1,3]dioxol-5-yl-	(2,5-Dichloro-phenyl)-	(3-Chloro-phenyl)- [(E) stereoisomer]
124	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3,4-Dichloro-phenyl)- [(E) stereoisomer]
125	Benzo[1,3]dioxol-5-yl-	(4-Ethoxy-phenyl)-	(3-Chloro-phenyl)- [(E) stereoisomer]
357	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	Phenyl- [(E) stereoisomer]
358	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Chloro-phenyl)- [(E) stereoisomer]
359	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Chloro-phenyl)- [(E) stereoisomer]
360	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(4-Methoxy-phenyl)- [(E) stereoisomer]
361	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3,4-Dichloro-phenyl)- [(E) stereoisomer]
362	(3,4-Dichloro-phenyl)-	(4-Methoxy-phenyl)-	(3-Methyl-phenyl)- [(E) stereoisomer]

363 (3,4-Dichloro-phenyl)- (4-Methoxy-phenyl)- (4-Methyl-phenyl)-  
[(*E*) stereoisomer]

364 Benzo[1,3]dioxol-5-yl- (4-Ethoxy-phenyl)- (3-Chloro-phenyl)-  
[(*E*) stereoisomer]

41. The compound of claim 1 selected from the group consisting of:

3-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-2-methyl-2-*m*-tolyl-propionic acid;

5 3-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-2-fluoro-2-*m*-tolyl-propionic acid;

3-[5-(3,4-Dichloro-phenyl)-1-(2,4-dichloro-phenyl)-1*H*-pyrazol-3-yl]-2-(3-dimethylamino-phenyl)-propionic acid;

10 3-[5-(3,4-Dichloro-phenyl)-1-(2,4-dichloro-phenyl)-1*H*-pyrazol-3-yl]-2-quinolin-8-yl-propionic acid;

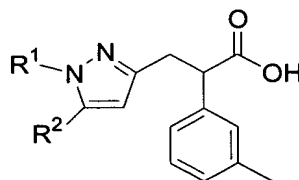
4-(1,5-Di-*p*-tolyl-1*H*-pyrazol-3-yl)-3-*m*-tolyl-butyric acid;

5-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-4-*m*-tolyl-pentanoic acid;

15 5-[2-[5-(3,4-Dichloro-phenyl)-2-(4-methoxy-phenyl)-2*H*-pyrazol-3-yl]-1-*m*-tolyl-ethyl]-1*H*-tetrazole; and

3-[2-(4-Methoxy-phenyl)-5-*p*-tolyl-2*H*-pyrazol-3-yl]-2-naphthalen-1-yl-propionic acid.

42. The compound of claim 1 of the formula:



20

where  $R^2$  and  $R^1$  are selected concurrently from the groups consisting of:

EX  $R^2$

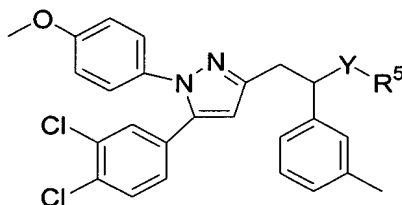
$R^1$

365 Naphthalen-2-yl-

Pyridin-3-yl-

- |     |                                     |                                     |
|-----|-------------------------------------|-------------------------------------|
| 366 | Naphthalen-2-yl-                    | Pyridin-4-yl-                       |
| 367 | Naphthalen-2-yl-                    | (6-Methyl-pyridin-2-yl)-            |
| 368 | Naphthalen-2-yl-                    | (3-Methoxy-pyridin-2-yl)-           |
| 369 | Naphthalen-2-yl-                    | (5-Methoxy-pyridin-2-yl)-           |
| 370 | Naphthalen-2-yl-                    | (6-Methoxy-pyridin-3-yl)-           |
| 371 | Naphthalen-2-yl-                    | (4-Ethoxy-pyridin-2-yl)-            |
| 372 | Naphthalen-2-yl-                    | (4-Dimethylamino-phenyl)-           |
| 373 | Naphthalen-2-yl-                    | (5-Dimethylamino-2-methoxy-phenyl)- |
| 374 | (3,5-Bis-dimethylamino-phenyl)-     | (4-Methyl-phenyl)-                  |
| 375 | (3-Dimethylamino-4-methoxy-phenyl)- | (4-Methyl-phenyl)-                  |

43. The compound of claim 1 of the formula:

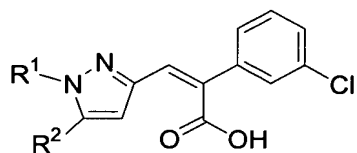


where R<sup>5</sup>-Y- is selected from the groups consisting of:

Table 12

EX R<sup>5</sup>-Y-376 (5-Oxo-4,5-dihydro-1*H*-[1,2,4]triazol-3-ylsulfanyl)-methyl-377 (3*H*-[1,2,3]Triazol-4-ylsulfanyl)-methyl-378 (2*H*-[1,2,4]Triazole-3-sulfinyl)-methyl-

44. The compound of claim 1 of the formula:



where R<sup>2</sup> and R<sup>1</sup> of such (Z) stereoisomeric compounds are selected  
 5 concurrently from the groups consisting of:

Table 13

EX	R <sup>2</sup>	R <sup>1</sup>
379	(4-Dimethylamino-phenyl)-	(4-Dimethylamino-phenyl)-
380	(4-Dimethylamino-phenyl)-	Naphthalen-2-yl-
381	(4-Dimethylamino-phenyl)-	(4-Chloro-phenyl)-
382	(4-Dimethylamino-phenyl)-	Phenyl-
383	(4-Dimethylamino-phenyl)-	Benzo[1,3]dioxol-5-yl-
384	Naphthalen-2-yl-	(4-Dimethylamino-phenyl)-

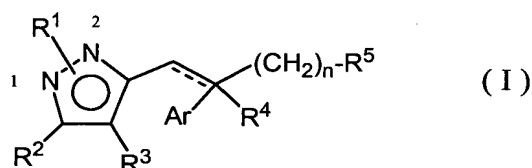
385	Naphthalen-2-yl-	Naphthalen-2-yl-
386	Naphthalen-2-yl-	(4-Chloro-phenyl)-
387	Naphthalen-2-yl-	Phenyl-
388	Naphthalen-2-yl-	Benzo[1,3]dioxol-5-yl-
389	(4-Chloro-phenyl)-	(4-Dimethylamino-phenyl)-
390	(4-Chloro-phenyl)-	Naphthalen-2-yl-
391	(4-Chloro-phenyl)-	(4-Chloro-phenyl)-
392	(4-Chloro-phenyl)-	Phenyl-
393	(4-Chloro-phenyl)-	Benzo[1,3]dioxol-5-yl-
394	Phenyl-	(4-Dimethylamino-phenyl)-
395	Phenyl-	Naphthalen-2-yl-
396	Phenyl-	(4-Chloro-phenyl)-
397	Phenyl-	Phenyl-
398	Phenyl-	Benzo[1,3]dioxol-5-yl-
399	Benzo[1,3]dioxol-5-yl-	(4-Dimethylamino-phenyl)-

400	Benzo[1,3]dioxol-5-yl-	Naphthalen-2-yl-
401	Benzo[1,3]dioxol-5-yl-	(4-Chloro-phenyl)-
402	Benzo[1,3]dioxol-5-yl-	Phenyl-
403	Benzo[1,3]dioxol-5-yl-	Benzo[1,3]dioxol-5-yl-

45. The compound of claim 1 selected from the group consisting of:  
2-Benzofuran-3-yl-3-[1-(4-methoxy-phenyl)-5-p-tolyl-1*H*-pyrazol-3-yl]-propionic  
acid; and

- 5 2-Benzofuran-3-yl-3-[5-(4-chloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-propionic acid.

46. A pharmaceutical composition comprising a pharmaceutically  
acceptable carrier and a pharmaceutically effective amount of a CCK-1  
10 receptor antagonist of the general formula:



wherein,

$R^1$  is a 1- or 2-position substituent selected from the group consisting of  
hydrogen,

- 15 a) phenyl, optionally mono-, di- or tri-substituted with  $R^p$  or  
di-substituted on adjacent carbons with  $-OC_{1-4}alkyleneO-$ ,  
 $-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  
 $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;  
 $R^p$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,  
20  $-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,  
 $-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are

- independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)C<sub>1-6</sub>alkyl, -(S=(O)<sub>n</sub>)-C<sub>1-6</sub>alkyl (wherein n is selected from 0, 1 or 2), -SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH and -COOC<sub>1-6</sub>alkyl;
- b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>p</sup>;
- c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>p</sup>;
- d) naphthyl, optionally mono-, di- or tri-substituted with R<sup>p</sup>;
- e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with R<sup>p</sup> and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>p</sup>;
- f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or

two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^p$ ;

- 5 g) adamantanyl or monocyclic  $C_{5-7}$ cycloalkyl, optionally having one or two carbon members optionally replaced with  $>O$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$  and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH,  $=O$  or  $-CH_3$ ;
- 10 h) a  $C_{1-8}alkyl$ ;
- i)  $C_{1-4}alkyl$ , mono-substituted by a substituent selected from the group consisting of any one of a) to g);

$R^2$  is selected from the group consisting of:

- 15 i) phenyl, optionally mono-, di- or tri- substituted with  $R^q$  or di-substituted on adjacent carbons with  $-OC_{1-4}alkyleneO-$ ,  $-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or  $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;
- $R^q$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,  $-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,  $-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are independently selected from H,  $C_{1-6}alkyl$ ,  $C_{1-6}alkenyl$ , or  $R^y$  and  $R^z$  may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon substituted with  $-OH$ , and optionally having one or two unsaturated bonds in the ring,  $-(C=O)N(R^y)R^z$ ,  $-(N-R^t)COR^t$ ,  $-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is H or  $C_{1-6}alkyl$  or two  $R^t$  in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members),  $-(C=O)C_{1-6}alkyl$ ,  $-(S(=O)_n)-C_{1-6}alkyl$  (wherein n is selected from 0, 1 or 2),  $-SO_2N(R^y)R^z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and  $-COOC_{1-6}alkyl$ ;
- 20
- 25
- 30



- 5 ii) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>q</sup>;
- 10 iii) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>q</sup>;
- 15 iv) naphthyl, optionally mono-, di- or tri-substituted with R<sup>q</sup>;
- v) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-6</sub>alkyl), having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with R<sup>q</sup> and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with R<sup>q</sup>; and
- 20 vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with R<sup>p</sup> and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with R<sup>q</sup>;
- 25

R<sup>3</sup> is selected from the group consisting of H, halo, and C<sub>1-6</sub>alkyl;

n is selected from 0,1, or 2, with the proviso that where R<sup>5</sup> is attached through -S-, the n is 1 or 2;

30 R<sup>4</sup> is selected from the group consisting of H, halo or C<sub>1-6</sub>alkyl or a covalent bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

- A) phenyl, optionally mono-, di- or tri-substituted with R<sup>r</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-,

$-(\text{CH}_2)_{2-3}\text{NH}-$ ,  $-(\text{CH}_2)_{1-2}\text{NH}(\text{CH}_2)-$ ,  $-(\text{CH}_2)_{2-3}\text{N}(\text{C}_{1-4}\text{alkyl})-$  or  
 $-(\text{CH}_2)_{1-2}\text{N}(\text{C}_{1-4}\text{alkyl})(\text{CH}_2)-$ ;

$\text{R}^f$  is selected from the group consisting of  $-\text{OH}$ ,  $-\text{C}_{1-6}\text{alkyl}$ ,

$-\text{OC}_{1-6}\text{alkyl}$ , phenyl,  $-\text{Ophenyl}$ , benzyl,  $-\text{Obenzyl}$ ,  $-\text{C}_{3-6}\text{cycloalkyl}$ ,

$-\text{OC}_{3-6}\text{cycloalkyl}$ ,  $-\text{CN}$ ,  $-\text{NO}_2$ ,  $-\text{N}(\text{R}^y)\text{R}^z$  (wherein  $\text{R}^y$  and  $\text{R}^z$  are  
independently selected from H,  $\text{C}_{1-6}\text{alkyl}$  or  $\text{C}_{1-6}\text{alkenyl}$ , or  $\text{R}^y$  and  
 $\text{R}^z$  may be taken together with the nitrogen of attachment to form

an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7  
members, optionally having one carbon replaced with  $>\text{O}$ ,  $=\text{N}-$ ,

$>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$ , optionally having one carbon substituted  
with  $-\text{OH}$ , and optionally having one or two unsaturated bonds in  
the ring),  $-(\text{C}=\text{O})\text{N}(\text{R}^y)\text{R}^z$ ,  $-(\text{N}-\text{R}^t)\text{COR}^t$ ,  $-(\text{N}-\text{R}^t)\text{SO}_2\text{C}_{1-6}\text{alkyl}$

(wherein  $\text{R}^t$  is H or  $\text{C}_{1-6}\text{alkyl}$  or two  $\text{R}^t$  in the same substituent may  
be taken together with the amide of attachment to form an

otherwise aliphatic hydrocarbon ring, said ring having 4 to 6  
members),  $-(\text{C}=\text{O})\text{C}_{1-6}\text{alkyl}$ ,  $-(\text{S}=\text{O})_n-\text{C}_{1-6}\text{alkyl}$  (wherein  $n$  is

selected from 0, 1 or 2),  $-\text{SO}_2\text{N}(\text{R}^y)\text{R}^z$ ,  $-\text{SCF}_3$ , halo,  $-\text{CF}_3$ ,  $-\text{OCF}_3$ ,  
 $-\text{COOH}$  and  $-\text{COOC}_{1-6}\text{alkyl}$ ;

B) phenyl or pyridyl fused at two adjacent ring members to a three  
membered hydrocarbon moiety to form a fused five membered  
aromatic ring, which moiety has one carbon atom replaced by  $>\text{O}$ ,  
 $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$  and which moiety has up to one additional  
carbon atom optionally replaced by N, the fused rings optionally  
mono-, di- or tri-substituted with  $\text{R}^f$ ;

C) phenyl fused at two adjacent ring members to a four membered  
hydrocarbon moiety to form a fused six membered aromatic ring,  
which moiety has one or two carbon atoms replaced by N, the fused  
rings optionally mono-, di- or tri-substituted with  $\text{R}^f$ ;

D) naphthyl, optionally mono-, di- or tri-substituted with  $\text{R}^f$ ;

E) a monocyclic aromatic hydrocarbon group having five ring atoms,  
having a carbon atom which is the point of attachment, having one  
carbon atom replaced by  $>\text{O}$ ,  $>\text{S}$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$ , having up to  
one additional carbon atoms optionally replaced by N, optionally  
mono- or di-substituted with  $\text{R}^f$  and optionally benzo fused on the

condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^f$ ; and

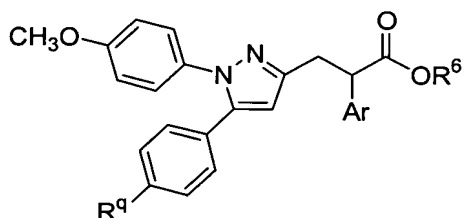
- 5 F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^f$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^f$ ;

10  $R^5$  is selected from the group consisting of;

- I)  $-\text{COOR}^6$ , where  $R^6$  is selected from the group consisting of H and  $-\text{C}_{1-4}\text{alkyl}$ ,  
 II)  $-\text{CONR}^7\text{R}^8$ , where  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen,  $\text{C}_{1-6}\text{alkyl}$  and  $\text{C}_{3-6}\text{cycloalkyl}$  optionally hydroxy substituted, or  $R^7$  and  $R^8$  may be taken together with the  
 15 nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with  $>\text{O}$ ,  $=\text{N}-$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$  and optionally having one or two unsaturated bonds in the ring; and  
 20 III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

- 25 except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:



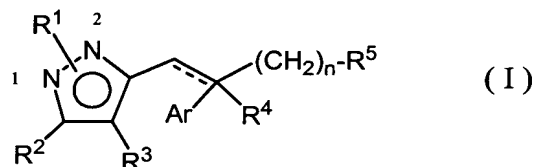
where  $R^q$ , Ar and  $R^6$  are selected concurrently from the groups consisting of:

CP#	R <sup>q</sup>	Ar	R <sup>6</sup>
R1	-Cl	phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R2	-Cl	3,4-diMeO-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R3	-Cl	4-MeO-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R4	-CH <sub>3</sub>	2-naphthyl-	-CH <sub>2</sub> CH <sub>3</sub>
R5	-CH <sub>3</sub>	1-naphthyl-	-CH <sub>2</sub> CH <sub>3</sub>
R6	-CH <sub>3</sub>	2-MeO-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R7	-CH <sub>3</sub>	2-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>
R8	-CH <sub>3</sub>	2-carboxymethyl-phenyl-	-CH <sub>2</sub> CH <sub>3</sub>
R9	-CH <sub>3</sub>	3-pyridyl-	-CH <sub>2</sub> CH <sub>3</sub>
R10	-Cl	4-MeO-phenyl-	-H
R11	-Cl	3,4-diMeO-phenyl-	-H
R12	-CH <sub>3</sub>	2-naphthyl-	-H
R13	-CH <sub>3</sub>	1-naphthyl-	-H
R14	-CH <sub>3</sub>	2-MeO-phenyl-	-H
R15	-CH <sub>3</sub>	2-carboxy-phenyl-	-H

R16 -CH<sub>3</sub>                      4-biphenyl                      -CH<sub>2</sub>CH<sub>3</sub> and

R17 -CH<sub>3</sub>                      4-biphenyl                      -H.

47. A method for treating pain, drug dependence, anxiety, panic attack, schizophrenia, pancreatic disorder, secretory disorder, motility disorders, functional bowel disease, biliary colic, anorexia and cancer in mammals
- 5 comprising administering to a mammal suffering from said conditions, in a pharmaceutically acceptable carrier, an effective amount of a CCK-1 receptor antagonist of the general formula:



wherein,

- 10 R<sup>1</sup> is a 1- or 2-position substituent selected from the group consisting of hydrogen,

- a) phenyl, optionally mono-, di- or tri-substituted with R<sup>p</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-, -(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or
- 15 -(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>)-

R<sup>p</sup> is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl, -OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl, -OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are independently selected from H, C<sub>1-6</sub>alkyl or C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl

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- (wherein  $R^t$  is H or  $C_{1-6}$ alkyl or two  $R^t$  in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members),  $-(C=O)C_{1-6}$ alkyl,  $-(S(O)_n)-C_{1-6}$ alkyl (wherein n is selected from 0, 1 or 2),  $-SO_2N(R^y)R^z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and  $-COOC_{1-6}$ alkyl;
- 5
- b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}$ alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^p$ ;
- 10
- c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^p$ ;
- 15
- d) naphthyl, optionally mono-, di- or tri-substituted with  $R^p$ ;
- e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}$ alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^p$ ;
- 20
- 25
- f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^p$ ;
- 30
- g) adamantanyl or monocyclic  $C_{5-7}$ cycloalkyl, optionally having one or two carbon members optionally replaced with  $>O$ ,  $>NH$  or  $>N(C_{1-4}$ alkyl) and optionally having one or two unsaturated bonds in

the ring and optionally having one of the ring atoms substituted with -OH, =O or -CH<sub>3</sub>;

h) a C<sub>1-8</sub>alkyl;

i) C<sub>1-4</sub>alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

5

R<sup>2</sup> is selected from the group consisting of:

i) phenyl, optionally mono-, di- or tri- substituted with R<sup>q</sup> or di-substituted on adjacent carbons with -OC<sub>1-4</sub>alkyleneO-, -(CH<sub>2</sub>)<sub>2-3</sub>NH-, -(CH<sub>2</sub>)<sub>1-2</sub>NH(CH<sub>2</sub>)-, -(CH<sub>2</sub>)<sub>2-3</sub>N(C<sub>1-4</sub>alkyl)- or -(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub>alkyl)(CH<sub>2</sub>)-;

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R<sup>q</sup> is selected from the group consisting of -OH, -C<sub>1-6</sub>alkyl, -OC<sub>1-6</sub>alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -C<sub>3-6</sub>cycloalkyl, -OC<sub>3-6</sub>cycloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>y</sup>)R<sup>z</sup> (wherein R<sup>y</sup> and R<sup>z</sup> are independently selected from H, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkenyl, or R<sup>y</sup> and R<sup>z</sup> may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N(C<sub>1-4</sub>alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring, -(C=O)N(R<sup>y</sup>)R<sup>z</sup>, -(N-R<sup>t</sup>)COR<sup>t</sup>, -(N-R<sup>t</sup>)SO<sub>2</sub>C<sub>1-6</sub>alkyl (wherein R<sup>t</sup> is H or C<sub>1-6</sub>alkyl or two R<sup>t</sup> in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)C<sub>1-6</sub>alkyl, -(S=(O)<sub>n</sub>)-C<sub>1-6</sub>alkyl (wherein n is selected from 0, 1 or 2), -SO<sub>2</sub>N(R<sup>y</sup>)R<sup>z</sup>, -SCF<sub>3</sub>, halo, -CF<sub>3</sub>, -OCF<sub>3</sub>, -COOH and -COOC<sub>1-6</sub>alkyl;

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ii) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N(C<sub>1-4</sub>alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with R<sup>q</sup>;

30

- iii) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^q$ ;
- 5 iv) naphthyl, optionally mono-, di- or tri-substituted with  $R^q$ ;
- v) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-6}alkyl)$ , having up to one additional carbon atoms optionally replaced by N, optionally
- 10 mono- or di-substituted with  $R^q$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^q$ ; and
- vi) a monocyclic aromatic hydrocarbon group having six ring atoms,
- 15 having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with  $R^p$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^q$ ;
- 20  $R^3$  is selected from the group consisting of H, halo, and  $C_{1-6}alkyl$ ;  
 $n$  is selected from 0,1, or 2, with the proviso that where  $R^5$  is attached through  $-S-$ , the  $n$  is 1 or 2;  
 $R^4$  is selected from the group consisting of H, halo or  $C_{1-6}alkyl$  or a covalent bond in the case where the a double bond is present in the above
- 25 structure;
- Ar is selected from the group consisting of:
- A) phenyl, optionally mono-, di- or tri-substituted with  $R^r$  or di-substituted on adjacent carbons with  $-OC_{1-4}alkyleneO-$ ,  $-(CH_2)_{2-3}NH-$ ,  $-(CH_2)_{1-2}NH(CH_2)-$ ,  $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$  or
- 30  $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$ ;
- $R^r$  is selected from the group consisting of  $-OH$ ,  $-C_{1-6}alkyl$ ,  $-OC_{1-6}alkyl$ , phenyl,  $-Ophenyl$ , benzyl,  $-Obenzyl$ ,  $-C_{3-6}cycloalkyl$ ,  $-OC_{3-6}cycloalkyl$ ,  $-CN$ ,  $-NO_2$ ,  $-N(R^y)R^z$  (wherein  $R^y$  and  $R^z$  are independently selected from H,  $C_{1-6}alkyl$  or  $C_{1-6}alkenyl$ , or  $R^y$  and



- 5  $R^Z$  may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with  $>O$ ,  $=N-$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , optionally having one carbon substituted with  $-OH$ , and optionally having one or two unsaturated bonds in the ring),  $-(C=O)N(R^Y)R^Z$ ,  $-(N-R^t)COR^t$ ,  $-(N-R^t)SO_2C_{1-6}alkyl$  (wherein  $R^t$  is H or  $C_{1-6}alkyl$  or two  $R^t$  in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members),  $-(C=O)C_{1-6}alkyl$ ,  $-(S(=O)_n)-C_{1-6}alkyl$  (wherein  $n$  is selected from 0, 1 or 2),  $-SO_2N(R^Y)R^Z$ ,  $-SCF_3$ , halo,  $-CF_3$ ,  $-OCF_3$ ,  $-COOH$  and  $-COOC_{1-6}alkyl$ ;
- 10
- 15 B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$  and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^f$ ;
- 20 C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with  $R^f$ ;
- 25 D) naphthyl, optionally mono-, di- or tri-substituted with  $R^f$ ;
- 30 E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by  $>O$ ,  $>S$ ,  $>NH$  or  $>N(C_{1-4}alkyl)$ , having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with  $R^f$  and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with  $R^f$ ; and
- F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to

the N-oxide, optionally mono- or di-substituted with  $R^f$  and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with  $R^f$ ;

$R^5$  is selected from the group consisting of;

- 5 I)  $-\text{COOR}^6$ , where  $R^6$  is selected from the group consisting of H and  $-\text{C}_{1-4}\text{alkyl}$ ,
- II)  $-\text{CONR}^7\text{R}^8$ , where  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen,  $\text{C}_{1-6}\text{alkyl}$  and  $\text{C}_{3-6}\text{cycloalkyl}$  optionally hydroxy substituted, or  $R^7$  and  $R^8$  may be taken together with the  
10 nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with  $>\text{O}$ ,  $=\text{N}-$ ,  $>\text{NH}$  or  $>\text{N}(\text{C}_{1-4}\text{alkyl})$  and optionally having one or two unsaturated bonds in the ring; and
- 15 III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof.